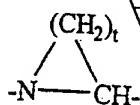


in which

J is $H, R^1, R^1-O-C(O)-, R^1-C(O)-, R^1-SO_2-, R^3OOC-(CHR^2)_p-,$
 $(R^{2a}, R^{2b})N-CO-(CHR^2)_p-$ or $Het-CO-(CHR^2)_p-$;

D is an amino-acid of the formula $-NH-CHR^1-C(O)-,$
 $-NR^4-CH((CH_2)_qC(O)OR^1)-C(O)-,$
 $-NR^4-CH((CH_2)_qC(O)N(R^{2a}, R^{2b}))-C(O)-,$
 $-NR^4-CH((CH_2)_qC(O)Het)-C(O)-,$
D-1-Tiq, D-3-Tiq, D-Atc, Aic, D-1-Piq or D-3
Piq;

E is $-NR^2-CH_2-$ or the fragment



which is unsubstituted or
substituted with (1-6C)alkyl, (1-6C)alkoxy or
benzyloxy;

R^1 is selected from (1-12C)alkyl,
(2-12C)alkenyl, (2-12C)alkynyl, (3-12C)cycloalkyl and
(3-12C)cycloalkyl(1-6C)alkylene, which groups are
unsubstituted or substituted with (3-12C)cycloalkyl,
(1-6C)alkoxy, oxo, OH, CF_3 or halogen, and from
(6-14C)aryl, (7-15C)aralkyl, (8-16C)aralkenyl and
(14-20C)(bisaryl)alkyl, wherein the aryl groups are
unsubstituted or substituted with (1-6C)alkyl,
(3-12C)cycloalkyl, (1-6C)alkoxy, OH, CF_3 or halogen;
 R^2, R^{2a} and R^{2b} are each independently selected from
H, (1-8C)alkyl, (3-8C)alkenyl, (3-8C)alkynyl,
(3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene,
which are unsubstituted or substituted with
(3-6C)cycloalkyl, (1-6C)alkoxy, CF_3 or halogen, and
from (6-14C)aryl and (7-15C)aralkyl, wherein the aryl
groups are unsubstituted or substituted with

Sub
B1

AX
Cont

(1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF₃ or halogen;

R³ is the same as R² or is Het-(1-6C)alkyl;

R⁴ is H or (1-3C)alkyl;

X and Y are CH or N, with the proviso that they are not both N;

Het is a 4-, 5- or 6-membered heterocycle containing one or more heteroatoms selected from O, N and S;

m is 1 or 2;

p is 1, 2 or 3;

q is 1, 2 or 3;

t is 2, 3 or 4;

or a pharmaceutically acceptable addition salt or solvate thereof.

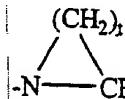
2. (Amended) The serine protease inhibitor according to claim 1, wherein m is 2; X is CH and Y is CH.

3. (Amended) The serine protease inhibitor according to claim 2, wherein

J is H, R¹ R¹-SO₂-, R³OOC-(CHR²)_p-,
(R^{2a}, R^{2b})N-CO-(CHR²)_p- or Het-CO(CHR²)_p-;

D is an amino-acid of the formula -NH-CHR¹-C(O)-,
-NR⁴-CH((CH₂)_qC(O)OR¹)-C(O)-,
-NR⁴-CH((CH₂)_qC(O)N(R^{2a}, R^{2b}))-C(O)-,

E is -N(3-6C)cycloalkyl-CH₂- or the fragment



, which is unsubstituted or substituted with (1-6C)alkyl or

09830227 064104
FOI 90-2206860

Sub
B2

A1
cont

1-6C)alkoxy;

R¹ is selected from (1-12C)alkyl, (3-12C)cycloalkyl and (3-12C)cycloalkyl(1-6C)alkylene, which groups are unsubstituted or substituted with (3-12C)cycloalkyl, (1-6C)alkoxy or oxo, and from (6-14C)aryl, (7-15C)aralkyl and (14-20C)(bisaryl)alkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-12C)cycloalkyl, (1-6C)alkoxy, OH, CF₃ or halogen;

R² is H;

R^{2a} and R^{2b} are each independently selected from H, (1-8C)alkyl, (3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene, which are unsubstituted or substituted with (3-6C)cycloalkyl or (1-6C)alkoxy and from (6-14C)aryl and (7-15C)aralkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF₃ or halogen;

R³ is selected from H, (1-8C)alkyl, (3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene, which are unsubstituted or substituted with (3-6C)cycloalkyl or (1-6C)alkoxy, and from (7-15C)aralkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF₃ or halogen and from Het-(1-6C)alkyl;

p is 1;

q is 2;

t is 3 or 4.

4. (Amended) The serine protease inhibitor according to claim 3, wherein

D is an amino-acid of the formula $\text{-NH-CHR}^1\text{-C(O)-}$ or glutamyl or an (1-6C)alkylester thereof;

R¹ is selected from (3-12C)cycloalkyl and (3-12C)cycloalkyl(1-6C)alkylene, which groups are unsubstituted or substituted with (3-12C)cycloalkyl or (1-6C)alkoxy, and from (6-14C)aryl, (7-15C)aralkyl and (14-20C)(bisary)alkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-12C)cycloalkyl, (1-6C)alkoxy or halogen; and

R³ is selected from (1-8C)alkyl and (3-8C)cycloalkyl, which are unsubstituted or substituted with (3-6C)cycloalkyl or (1-6C)alkoxy, and from (7-15C)aralkyl, wherein the aryl groups are unsubstituted or substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF₃ or halogen and from Het-(1-6C)alkyl.

5. (Amended) The serine protease inhibitor according to claim 4, wherein

J is $\text{-CH}_2\text{COO(1-6C)alkyl}$, (3-8C)cycloalkyl, $\text{-SO}_2\text{-10-camphor}$, $\text{-CH}_2\text{CONHphenyl}$ or $\text{-CH}_2\text{CONH(3-8C)cycloalkyl}$;

D is D-cyclohexylalaninyl, D-phenylalaninyl, D-diphenylalaninyl or glutamyl, or an (1-6C)alkylester thereof; and

E is the fragment

